

10/825,611

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NEWS 4 MAY 10 CA/CAPLUS enhanced with 1900-1906 U.S. patent records
NEWS 5 MAY 11 KOREAPAT updates resume
NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 7 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPLUS and
USPATFULL/USPAT2
NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/CAPLUS
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in
INPADOC
NEWS 10 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and
and display fields
NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and
PCTFULL
NEWS 12 JUL 11 CHEMSAFE reloaded and enhanced
NEWS 13 JUL 14 FSTA enhanced with Japanese patents
NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced
NEWS 17 AUG 30 CA(SM)/CAPLUS(SM) Austrian patent law changes
NEWS 18 SEP 11 CA/CAPLUS enhanced with more pre-1907 records
NEWS 19 SEP 21 CA/CAPLUS fields enhanced with simultaneous left and right
truncation
NEWS 20 SEP 25 CA(SM)/CAPLUS(SM) display of CA Lexicon enhanced
NEWS 21 SEP 25 CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 22 SEP 25 CAS REGISTRY(SM) updated with amino acid codes for
pyrrolysine

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 21:10:44 ON 25 SEP 2006

=> file reg

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FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 24 SEP 2006 HIGHEST RN 908332-13-8

DICTIONARY FILE UPDATES: 24 SEP 2006 HIGHEST RN 908332-13-8

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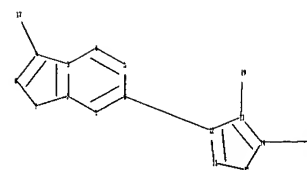
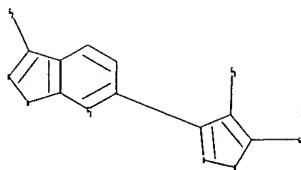
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<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10825611.str

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chain nodes :

17 19 20

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14

chain bonds :

6-12 9-17 13-19 14-20

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 10-11 10-14 11-12

12-13 13-14

exact/norm bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 6-12 7-8 8-9 9-17 10-11 10-14

11-12 12-13 13-14 13-19 14-20

isolated ring systems :

containing 1 : 10 :

G1:N,CH

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G2:H,Ak

G3:H,X,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 17:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 21:11:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 45 TO ITERATE

100.0% PROCESSED 45 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 498 TO 1302
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 21:11:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 905 TO ITERATE

100.0% PROCESSED 905 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.01

L3 10 SEA SSS FUL L1

=> file caplus

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FULL ESTIMATED COST	166.94	167.15

FILE 'CAPLUS' ENTERED AT 21:11:35 ON 25 SEP 2006
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FILE LAST UPDATED: 24 Sep 2006 (20060924/ED)

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=> s l3

L4 2 L3

=> d l4 ibib hitstr abs 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:380892 CAPLUS

DOCUMENT NUMBER: 144:432795

TITLE: Preparation of pyrazole derivatives as selective phosphodiesterase 4 inhibitors

INVENTOR(S): Hopper, Allen; Dunn, Robert F.; Kuester, Erik Mikal;

Conticello, Richard D.

PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 221 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006044528	A1	20060427	WO 2005-US36801	20051014
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 2006154960	A1	20060713	US 2005-249769	20051014
PRIORITY APPLN. INFO.:			US 2004-618725P	P 20041015

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OTHER SOURCE(S): MARPAT 144:432795

IT 784189-89-5P 885131-71-5P 885131-78-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole derivs. as selective PDE4 inhibitors for enhancing

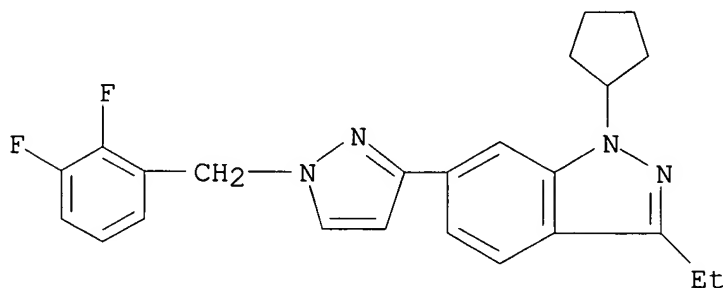
cognition and treating psychosis, allergic conditions, or inflammatory

disease)

RN 784189-89-5 CAPLUS

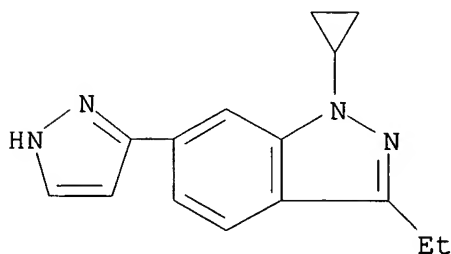
CN 1H-Indazole,

1-cyclopentyl-6-[1-[(2,3-difluorophenyl)methyl]-1H-pyrazol-3-yl]-3-ethyl- (9CI) (CA INDEX NAME)



RN 885131-71-5 CAPLUS

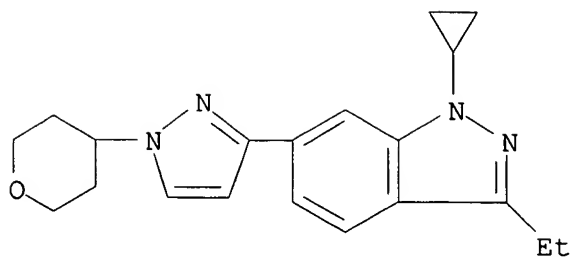
CN 1H-Indazole, 1-cyclopropyl-3-ethyl-6-(1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



RN 885131-78-2 CAPLUS

CN 1H-Indazole, 1-cyclopropyl-3-ethyl-6-[1-(tetrahydro-2H-pyran-4-yl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

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IT 784189-88-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(preparation of pyrazole derivs. as selective PDE4 inhibitors for enhancing

cognition and treating psychosis, allergic conditions, or inflammatory

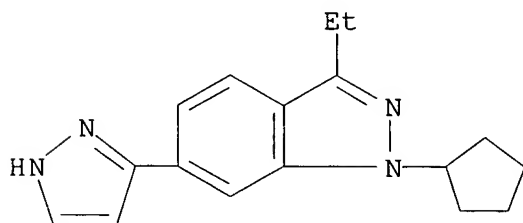
disease)

RN 784189-88-4 CAPLUS

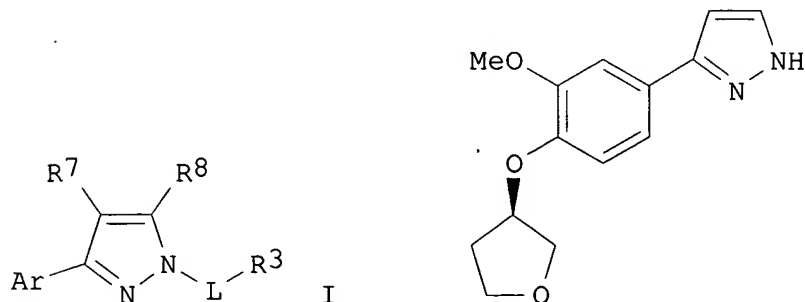
CN 1H-Indazole, 1-cyclopentyl-3-ethyl-6-(1H-pyrazol-3-yl)- (9CI) (CA

INDEX

NAME)



GI



II

AB Title (hetero)aryl pyrazole compds. I [wherein Ar = substituted Ph,

pyridinyl, benzo-furanyl, benzo-pyrazolyl, pyrazolo[4,3-b]pyridinyl; L
 = bond, (CH₂)_nCONH, (CH₂)_nCON(alkyl), (CH₂)_nNHCO, (CH₂)_nCONHSO₂,
 (CH₂)_nSO₂NH, (CH₂)_nSO₂, (CH₂)_nCO₂, (un)substituted alkylene optionally
 interrupted by O, NH, S; n = 0-3; R₃ = H, (un)substituted (cyclo)alkyl,
 alkenyl, alkynyl, aryl, heterocyclyl; R₇, R₈ = independently H, halo,
 (un)substituted alkyl, alkenyl, alkynyl; and pharmaceutically

acceptable

salts thereof] were prepared The invention compds. exhibited improved
 phosphodiesterase 4 (PDE4) inhibition as compared to compds. such as
 rolipram and showed selectivity with regard to inhibition of other

classes

of PDEs. For example, 3-hydroxy-4-methoxy-benzaldehyde was condensed
 with

(S)-3-hydroxy-tetrahydrofuran using PPh₃ and DIAD in THF to give
 (R)-4-methoxy-3-[(tetrahydrofuran-3-yl)oxy]benzaldehyde (66%).

Reaction

of the aldehyde with diethoxyphosphorylacetaldehyde tosyl-hydrazone in
 the

presence of NaH in THF provided the desired pyrazole II (57%).

Compds. of

the invention blocked the human PDE4 mediated conversion of cAMP to
 adenosine with IC₅₀ values ranging from 10 nM to 5000 nM. Thus, I and
 their pharmaceutical compns. are useful for enhancing cognition and
 treating psychosis, allergic conditions, or inflammatory disease,
 Alzheimer's disease, schizophrenia, Parkinson's disease, Huntington's
 disease, Pick's disease, Creutzfeld-Jakob disease, HIV, cardiovascular
 disease, head trauma or age-related cognitive decline, schizophrenia,
 bipolar or manic depression, major depression, drug addiction or

morphine

dependence (no data).

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR
 THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:927199 CAPLUS

DOCUMENT NUMBER: 141:379922

TITLE: Preparation of pyrazole derivatives as selective
 phosphodiesterase 4 inhibitors

INVENTOR(S): Hopper, Allen; Kuester, Erik; Dunn, Robert;
 Conticello, Richard

PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 186 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

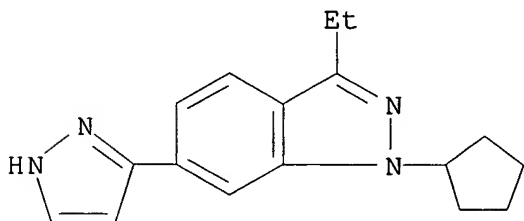
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004094411	A1	20041104	WO 2004-US11899	20040416
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004232973	A1	20041104	AU 2004-232973	20040416
CA 2522687	AA	20041104	CA 2004-2522687	20040416
US 2004229918	A1	20041118	US 2004-825611	20040416
EP 1631568	A1	20060308	EP 2004-759965	20040416
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL,			
SK, HR				
BR 2004009888	A	20060523	BR 2004-9888	20040416
CN 1809559	A	20060726	CN 2004-80017033	20040416
PRIORITY APPLN. INFO.:			US 2003-463725P	P 20030418
			WO 2004-US11899	W 20040416

OTHER SOURCE(S): MARPAT 141:379922

IT 784189-88-4P, 3-(1-Cyclopentyl-3-ethylindazol-6-yl)-1H-pyrazole
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (PDE4 inhibitor; preparation of pyrazole derivs. as selective PDE4 inhibitors for enhancing cognition and treating psychosis, allergic conditions, or inflammatory disease)

RN 784189-88-4 CAPLUS
 CN 1H-Indazole, 1-cyclopentyl-3-ethyl-6-(1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



IT 784189-89-5P, 3-(1-Cyclopentyl-3-ethylindazol-6-yl)-1-(2,3-difluorobenzyl)-1H-pyrazole 784190-55-2P, 3-(1-Cyclopentyl-3-ethylindazol-6-yl)-1-(4-carboxyphenyl)-1H-pyrazole 784190-57-4P,

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3-(1-Cyclopentyl-3-ethylindazol-6-yl)-1-(4-methoxyphenyl)-1H-pyrazole
784190-58-5P, 3-(1-Cyclopentyl-3-ethylindazol-6-yl)-1-(2-methylbenzyl)-1H-pyrazole 784190-59-6P, 3-(1-Cyclopentyl-3-ethylindazol-6-yl)-1-(4-methylsulfonylbzyl)-1H-pyrazole 784190-60-9P, 3-(1-Cyclopentyl-3-ethylindazol-6-yl)-1-[(2-pyridyl)methyl]-1H-pyrazole 784191-48-6P, 3-(1-Cyclopentyl-3-ethylindazol-6-yl)-1-(2,3-difluorophenyl)pyrazole

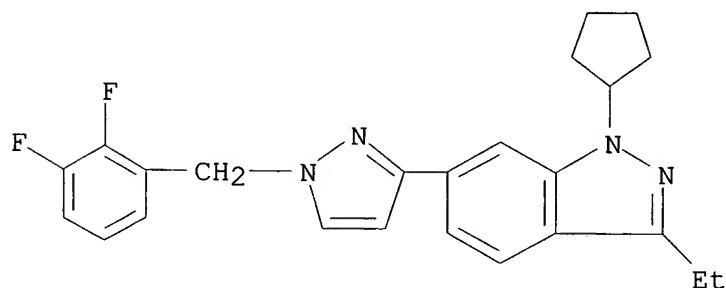
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE4 inhibitor; preparation of pyrazole derivs. as selective PDE4 inhibitors for enhancing cognition and treating psychosis, allergic conditions, or inflammatory disease)

RN 784189-89-5 CAPLUS

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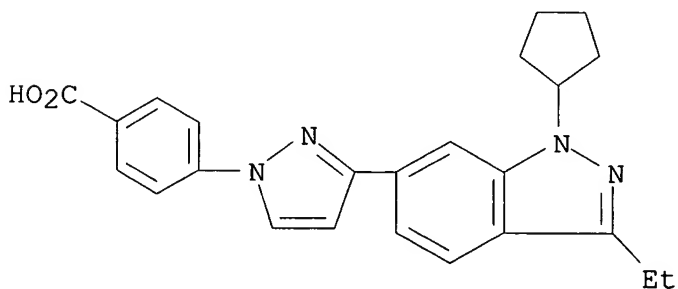
1-cyclopentyl-6-[1-[(2,3-difluorophenyl)methyl]-1H-pyrazol-3-yl]-3-ethyl- (9CI) (CA INDEX NAME)



RN 784190-55-2 CAPLUS

CN Benzoic acid,

4-[3-(1-cyclopentyl-3-ethyl-1H-indazol-6-yl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

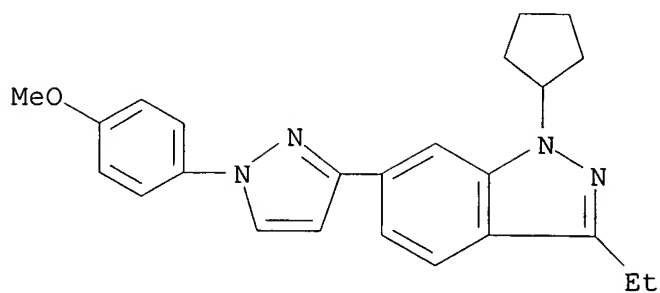


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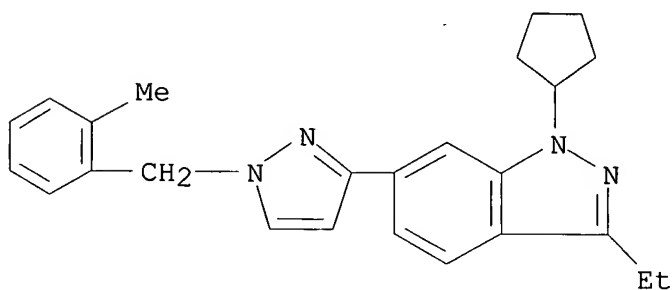
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1-cyclopentyl-3-ethyl-6-[1-(4-methoxyphenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

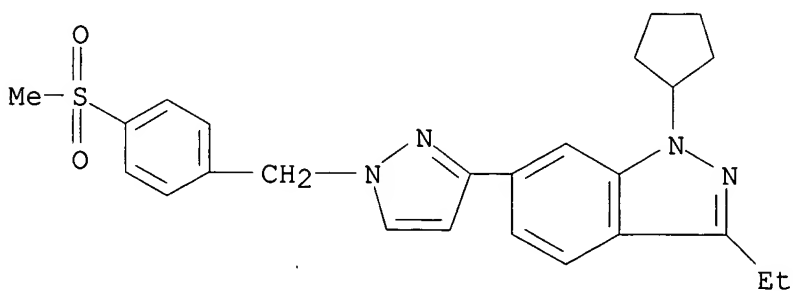
10/825,611



RN 784190-58-5 CAPLUS
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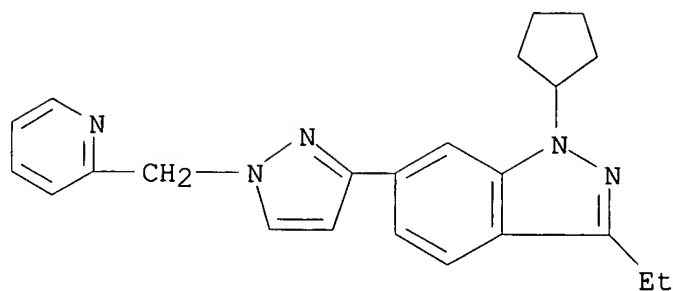


RN 784190-59-6 CAPLUS
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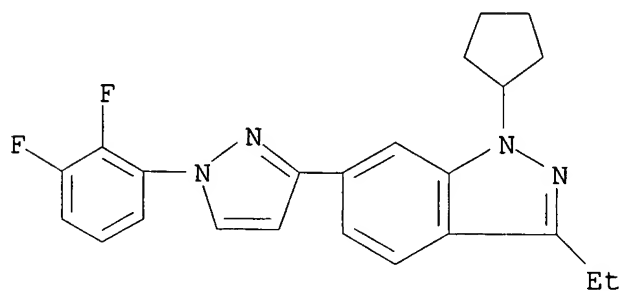


RN 784190-60-9 CAPLUS
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1-cyclopentyl-3-ethyl-6-[1-(2-pyridinylmethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

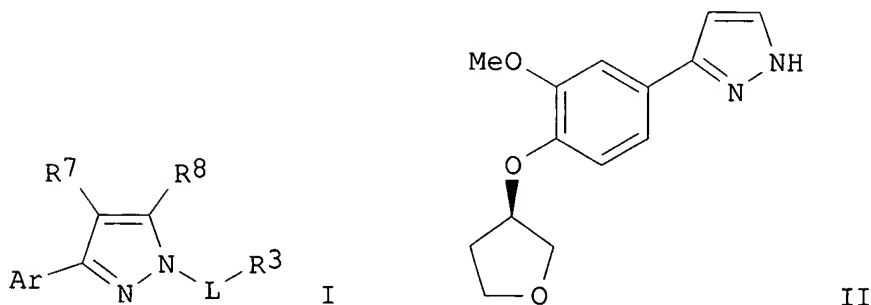
10/825,611



RN 784191-48-6 CAPLUS
 CN 1H-Indazole,
 1-cyclopentyl-6-[1-(2,3-difluorophenyl)-1H-pyrazol-3-yl]-3-ethyl- (9CI) (CA INDEX NAME)



GI



AB Title (hetero)aryl pyrazole compds. I [wherein Ar = substituted Ph, pyridinyl, benzofuranyl, benzopyrazolyl, pyrazolo[4,3-b]pyridinyl; L = bond, (CH₂)_nCONH, (CH₂)_nCON(alkyl), (CH₂)_nNHCO, (CH₂)_nCONHSO₂, (CH₂)_nSO₂NH, (CH₂)_nSO₂, (CH₂)_nCO₂, (un)substituted alkylene optionally interrupted by O, NH, S; n = 0-3; R₃ = H, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, heterocyclyl; R₇, R₈ = independently H, halo, (un)substituted alkyl, alkenyl, alkynyl; and pharmaceutically acceptable

10/825,611

salts thereof] were prepared The invention compds. exhibited improved phosphodiesterase 4 (PDE4) inhibition as compared to compds. such as rolipram and showed selectivity with regard to inhibition of other classes

of PDEs. For example, 3-hydroxy-4-methoxybenzaldehyde was condensed with

(S)-3-hydroxytetrahydrofuran using PPh₃ and DIAD in THF to give (R)-4-methoxy-3-[(tetrahydrofuran-3-yl)oxy]benzaldehyde (66%).

Reaction

of the aldehyde with diethoxyphosphorylacetaldehyde tosylhydrazine in the

presence of NaH in THF provided the desired pyrazole II (57%).

Compds. of

the invention blocked the human PDE4 mediated conversion of cAMP to adenosine with IC₅₀ values ranging from 10 nM to 5000 nM. Thus, I and their pharmaceutical compns. are useful for enhancing cognition and treating psychosis, allergic conditions, or inflammatory disease (no data).

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
10.68	177.83

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-1.50	-1.50

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